10/687,711

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NEWS 4	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 5	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 6	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 7	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 8	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 9	JAN 30	Saved answer limit increased
NEWS 10	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS 11	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 12	FEB 22	Status of current WO (PCT) information on STN
NEWS 13	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 14	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 15	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 16	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 17	FEB 28	TOXCENTER reloaded with enhancements
NEWS 18	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 19	MAR 01	INSPEC reloaded and enhanced
NEWS 20	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 21	MAR 08	X.25 communication option no longer available after June 2006
NEWS 22	MAR 22	EMBASE is now updated on a daily basis

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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=> s polyoxime or poly!oxime
            51 POLYOXIME
            27 POLYOXIMES
            57 POLYOXIME
                 (POLYOXIME OR POLYOXIMES)
             0 POLY!OXIME
L1          57 POLYOXIME OR POLY!OXIME

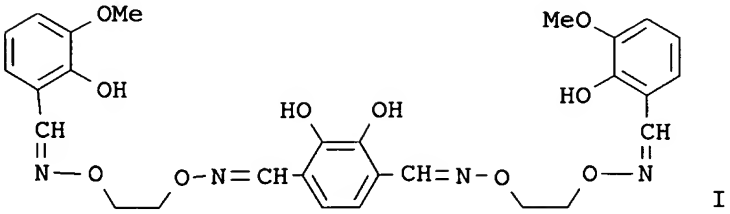
=> s amino-oxy or aminooxy
       1062901 AMINO
            42 AMINOS
       1062918 AMINO
                 (AMINO OR AMINOS)
         57353 OXY
            13 OXIES
         57365 OXY
                 (OXY OR OXIES)
           354 AMINO-OXY
                 (AMINO(W)OXY)
          1106 AMINOOXY
L2        1404 AMINO-OXY OR AMINOOXY

=> s l1 and l2
L3           3 L1 AND L2

=> d l3 ibib abs hitstr tot

L3   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:1141794  CAPLUS
DOCUMENT NUMBER:          142:253129
```

TITLE: Ca2+- and Ba2+-Selective Receptors Based on Site-Selective Transmetalation of Multinuclear **Polyoxime**-Zinc(II) Complexes
AUTHOR(S): Akine, Shigehisa; Taniguchi, Takanori; Saiki, Toshiyuki; Nabeshima, Tatsuya
CORPORATE SOURCE: Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki, 305-8571, Japan
SOURCE: Journal of the American Chemical Society (2005), 127(2), 540-541
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:253129
GI



I

AB Ca2+-selective recognition was achieved by using the site-selective transmetalation of homotrinuclear metallohost [L1Zn3]2+ containing a linear tetraoxime ligand (H4L1 = I). The selectivity (log(KCa/KMg) > 5.1) is comparable to those of the excellent Ca2+ receptors or sensors such as BAPTA, Quin2, and K23E1. X-ray crystallog. revealed that the Ca2+ complex [L1Zn2Ca]2+ has a helical structure. However, the larger analog H6L2 gave a mixture of [L2Zn4]2+ isomers, which selectively recognizes Ba2+ to give a single tetranuclear complex, [L2Zn3Ba]2+.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:639661 CAPLUS
DOCUMENT NUMBER: 125:329464
TITLE: **Polyoximes**: A flexible approach for producing homogeneous artificial proteins
AUTHOR(S): Zeng, W.; Rose, K.; Jackson, D. C.
CORPORATE SOURCE: Biochimie Medicale, C.M.U., Geneva, CH-1211, Switz.
SOURCE: Peptides 1994, Proceedings of the European Peptide Symposium, 23rd, Braga, Port., Sept. 4-10, 1994 (1995), Meeting Date 1994, 855-856. Editor(s): Maia, Hernani L. S. ESCOM: Leiden, Neth.
CODEN: 63MBAO
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A report from a symposium on the preparation of artificial proteins by oxime condensation of **aminooxy**-containing peptides with glyoxylated peptide and cyclopeptide templates.

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:83878 CAPLUS
DOCUMENT NUMBER: 124:172723
TITLE: Site-specific immunoconjugates
AUTHOR(S): Werlen, R. C.; Lankinen, M.; Smith, A.; Chernushevich, I.; Standing, K. G.; Blakey, D. C.; Shuttleworth, H.;

Melton, R. G.; Offord, R. E.; Rose, K.
CORPORATE SOURCE: Dep. Biochim. Med., Centre Med. Univ., Geneca, CH-1211, Switz.
SOURCE: Tumor Targeting (1995), 1(5), 251-8
CODEN: TUTAF9; ISSN: 1351-8488
PUBLISHER: Chapman & Hall
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review and discussion with 19 refs. The conjugation of two proteins with different activities in order to get a conjugate with a new hybrid activity is a field of intense investigation. The standard way of preparing such conjugates uses random acylation of lysine side-chains with heterobifunctional reagents, leading to a mixture of conjugates where both protein partners are linked to one another in different orientations. To circumvent this difficulty, we are developing precise conjugation techniques for the preparation of site-specific protein conjugates. Here we review the preparation, characterization and the use of three such site-specific immunoconjugates: an antibody fragment-enzyme conjugate designed for ADEPT (antibody-directed enzyme prodrug therapy) and two F(ab')3 constructions prepared with different linkers. The ADEPT conjugate is a head-to-tail conjugate between an F(ab')3 antibody fragment and the enzyme carboxypeptidase G2 (CPG2). The components are linked through the formation of a hydrazone bond between a carbohydrazide, introduced at the C-terminus of the truncated heavy chain of the antibody fragment by reverse proteolysis, and an aldehyde, obtained by mild periodate oxidation of a threonine introduced at the N-terminus of the CPG2 by genetic engineering. This conjugate has been characterized by ESI-TOF (electrospray ionization time of flight) mass spectrometry and its in vitro and in vivo behavior was compared with that of a corresponding random conjugate. For the preparation of both F(ab')3 constructions, an Fab with a single thiol group was first prepared by digestion with appropriate proteases. In the first case, the thiol was then converted to an **aminooxy** group. A trivalent construct was then obtained by **polyoxime** formation with a trialdehyde template. This F(ab')3 has been characterized by ESI-TOF mass spectrometry and its biodistribution in tumor-bearing mice has been investigated. The second F(ab')3 was obtained starting with the same Fab, but the trivalent construct was prepared on a template containing two aldehydes and a maleimide group, allowing the introduction of three Fab in three different steps.

```
=> s peptide
        346431 PEPTIDE
        253787 PEPTIDES
L4      443757 PEPTIDE
                  (PEPTIDE OR PEPTIDES)

=> s l2 and l4
L5         130 L2 AND L4

=> s l5 and (solid or subsrate or carrier)
       1005547 SOLID
        280916 SOLIDS
       1212900 SOLID
                  (SOLID OR SOLIDS)
            19 SUBSRATE
             6 SUBSRATES
            25 SUBSRATE
                  (SUBSRATE OR SUBSRATES)
        264114 CARRIER
        147484 CARRIERS
        345521 CARRIER
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(CARRIER OR CARRIERS)
L6 31 L5 AND (SOLID OR SUBSRATE OR CARRIER)

=> s l6 and oxime
43455 OXIME
15467 OXIMES
48139 OXIME
(OXIME OR OXIMES)
L7 14 L6 AND OXIME

=> s l7 not l3
L8 14 L7 NOT L3

=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1178221 CAPLUS
DOCUMENT NUMBER: 144:88540
TITLE: Efficient synthesis of C-terminal modified **peptide** ketones for chemical ligations
AUTHOR(S): Marceau, Philippe; Bure, Corinne; Delmas, Agnes F.
CORPORATE SOURCE: Centre de biophysique moleculaire, UPR 4301 CNRS, Orleans, 45071, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(24), 5442-5445
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis of a C-terminal modified **peptide** with an α-amido Me ketone was efficiently carried out using a backbone-amide-type linker loading with a monofunctionalized diamine, provided that no base such as piperidine or diisopropylethylamine or a reducing agent such as triisopropylsilane was used for the synthetic pathway. The ketoxime-forming chemoselective ligation between a Me ketone and an **aminooxy** was quant. in 5 h at pH 2.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:673578 CAPLUS
DOCUMENT NUMBER: 143:326614
TITLE: Combinatorial Synthesis of MUC1 Glycopeptides: Polymer Blotting Facilitates Chemical and Enzymatic Synthesis of Highly Complicated Mucin Glycopeptides
AUTHOR(S): Fumoto, Masataka; Hinou, Hiroshi; Ohta, Takashi; Ito, Takaomi; Yamada, Kuriko; Takimoto, Akio; Kondo, Hirosato; Shimizu, Hiroki; Inazu, Toshiyuki; Nakahara, Yoshiaki; Nishimura, Shinichiro
CORPORATE SOURCE: Glycochemosynthesis Team, Research Center for Glycoscience, National Institute of Advanced Industrial Science and Technology (AIST), Sapporo, 062-8517, Japan
SOURCE: Journal of the American Chemical Society (2005), 127(33), 11804-11818
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The chemoselective polymer blotting method allows for rapid and efficient synthesis of glycopeptides based on a "catch and release" strategy between **solid**-phase and water-soluble polymer supports. The authors have developed a heterobifunctional linker sensitive to Bacillus licheniformis

glutamic acid specific protease (BLase). The general procedure consists of five steps, namely (i) the **solid**-phase synthesis of glycopeptide containing BLase-sensitive linker, (ii) subsequent deprotections and the release of the glycopeptide from the resin, (iii) chemoselective blotting of the glycopeptide intermediates in the presence of water-soluble polymers with oxylamino functional groups, (iv) sugar elongations using glycosyltransferases, and (v) the release of target glycopeptides from the polymer platform by selective BLase promoted hydrolysis. The combined use of the **solid**-phase chemical syntheses of **peptides** and the enzymic syntheses of carbohydrates on water-soluble polymers would greatly contribute to the production of complicated glycopeptide libraries, thereby enhancing applicative research. Here, the authors report a high-throughput synthetic system for the various types of MUC1 glycopeptides exhibiting a variety of sugar moieties. The authors believe that this concept will become part of the entrenched repertoire for the synthesis of biol. important glycopeptides on the basis of glycosyltransferase reactions in automated and combinatorial syntheses.

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:758369 CAPLUS

DOCUMENT NUMBER: 142:397637

TITLE: Two-step methodology for high-yield routine radiohalogenation of **peptides**: 18F-labeled RGD and ocreotide analogs

AUTHOR(S): Poethko, Thorsten; Schottelius, Margret; Thumshirn, Georgette; Hersel, Ulrich; Herz, Michael; Henriksen, Gjermund; Kessler, Horst; Schwaiger, Markus; Wester, Hans-Juergen

CORPORATE SOURCE: Nuklearmedizinische Klinik und Poliklinik, Klinikum rechts der Isar, Technische Universitaet Muenchen, Munich, Germany

SOURCE: Journal of Nuclear Medicine (2004), 45(5), 892-902
CODEN: JNMEAQ; ISSN: 0161-5505

PUBLISHER: Society of Nuclear Medicine

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:397637

AB Routine application of 18F-labeled **peptides** for quant. in vivo receptor imaging of receptor-expressing tissues and quantification of receptor status using PET is limited by the lack of appropriate radiofluorination methods for routine large-scale synthesis of 18F-labeled **peptides**. To satisfy this demand, a new 18F-labeling methodol. based on the chemoselective **oxime** formation between an unprotected **aminooxy**-functionalized **peptide** and an 18F-labeled aldehyde or ketone was investigated and optimized with respect to **peptide** conjugation. In this study, 4-[18F]Fluorobenzaldehyde ([18F]FB-CHO) was prepared from the 4-formyl-N,N,N-trimethylanilinium precursor via direct no-**carrier** -added 18F-fluorination (DMSO, 60°C, 15 min) and purified using a cation-exchange/reversed-phase cartridge system. Radiochem. yields (RCYs) of N-(4-[18F]fluorobenzylidene)**oxime** ([18F]FBOA) formation with various **aminooxy**-modified **peptides** such as minigastrin, RGD, and octreotate analogs were investigated as a function of reaction time and temperature, **peptide** concentration, and pH. Biodistribution studies were performed with an [18F]FBOA-RGD dimer ((c(RGDfE)-HEG)2-K-Dpr-[18F]FBOA, 60 and 120 min after injection) and a gylcosylated [18F]FB-Tyr3-octreotate (Gluc-S-Dpr([18F]FBOA)-TOCA), 10 and 60 min after injection) using M21 and M21L human melanoma and AR42J rat pancreatic tumor-bearing nude mice, resp. From the study, [18F]FB-CHO was obtained in a nonoptimized RCY of 50% within 30 min. At low **peptide** concns. (0.5 mmol/L), optimal [18F]FBOA-labeling

efficiencies (60%-80%) were obtained within 15 min at 60°C and pH 2-3, independently of the **peptide** used, affording the [18F]FBOA-**peptides** in overall RCYs of up to 40% (from end of bombardment) after purification Both (c(RGDfE)HEG)2-K-Dpr-[18F]FBOA and Gluc-S-Dpr([18F]FBOA)TOCA showed pharmacokinetics suitable for early (≤60 min) high-contrast PET imaging, high tumor uptake (2.48 ± 0.15 %ID/g [RGD] and 21.8 ± 1.4 %ID/g [TOCA] at 60 min after injection, where %ID/g = percentage injected dose per g), and tumor-to-organ ratios that compared well with the corresponding [18F]fluoropropionyl analogs [18F] Galacto-RGD and Gluc-Lys ([18F]FP) TOCA, which are prepared via multistep procedures. **Oxime** formation between **aminooxy** -functionalized **peptides** and an 18F-labeled aldehyde or ketone-in this case, [18F]FB-CHO-combines fast 1-step, high-yield synthesis of an 18F-labeled prosthetic group stable against in vivo defluorination with rapid, 1-step chemoselective conjugation to unprotected **peptides** under mild conditions. Thus, it allows fast and straightforward large-scale production of 18F-labeled **peptides** for clin. routine PET application. Furthermore, it opens new perspectives to **peptide** radiohalogenation in general, permitting labeling of the same precursor both with diagnostic (18F, 124I, 120gI, 123I) and therapeutic (211At, 131I) radiohalogens.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:20322 CAPLUS
DOCUMENT NUMBER: 140:87658
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006011	A1	20040108	US 2003-425557	20030428
US 6031072	A	20000229	US 1997-893534	19970711
US 6326352	B1	20011204	US 2000-507102	20000217
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2002151475	A1	20021017	US 2001-6982	20011204
US 6914044	B2	20050705		

PRIORITY APPLN. INFO.:

US 1996-21612P	P	19960712
US 1997-893534	A1	19970711
US 2000-491078	B2	20000124
US 2000-507102	A1	20000217
US 2001-769145	B2	20010124
US 2001-6982	A2	20011204

OTHER SOURCE(S): MARPAT 140:87658

AB Peptidomimetics of cyclic **peptides**, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic **peptide** that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:860614 CAPLUS
DOCUMENT NUMBER: 140:59931
TITLE: A New Approach to the Chemical Synthesis of Keto-Proteins
AUTHOR(S): Tumelty, David; Carnevali, Maia; Miranda, Les P.
CORPORATE SOURCE: Gryphon Therapeutics, South San Francisco, CA, 94080, USA
SOURCE: Journal of the American Chemical Society (2003), 125(47), 14238-14239
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:59931
AB To increase the versatility of protein-conjugation, an orthogonal protection strategy is described, which enables the efficient synthesis of keto-proteins bearing a reactive ketone functionality using Boc, Fmoc, and chemical ligation methodologies. A 1,3-dithiolane group was used to protect the ketone function of levulinate- and pyruvate-derivatized **peptides** during **solid**-phase synthesis, acidolytic cleavage, and purification When required, the 1,3-dithiolane group could be cleanly removed using aqueous silver or mercuric solns. to regenerate the reactive keto-protein at ambient temperature The liberated keto-protein was chemoselectively conjugated in situ to an **aminooxy**-derivatized monodisperse polymer.
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:500182 CAPLUS
DOCUMENT NUMBER: 139:261551
TITLE: Multimeric cyclic RGD **peptides** as potential tools for tumor targeting: **Solid**-phase **peptide** synthesis and chemoselective **oxime** ligation
AUTHOR(S): Thumshirn, Georgette; Hersel, Ulrich; Goodman, Simon L.; Kessler, Horst
CORPORATE SOURCE: Institut fuer Organische Chemie und Biochemie Technische Universitaet Muenchen, Garching, 85747, Germany
SOURCE: Chemistry--A European Journal (2003), 9(12), 2717-2725
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:261551
AB The $\alpha v\beta 3$ integrin receptor plays an important role in human metastasis and tumor-induced angiogenesis. Targeting this receptor may provide information about the receptor status of the tumor and enable specific therapeutic planning. **Solid**-phase **peptide** synthesis of multimeric cyclo(-RGDfE-)-**peptides** is described, which offer the possibility of enhanced integrin targeting due to polyvalency effects. These **peptides** contain an **aminooxy** group for versatile chemoselective **oxime** ligation. Conjugation with para-trimethylstannyl-benzaldehyde results in a precursor for radioiododestannylation, which would allow them to be used as potential tools for targeting and imaging $\alpha v\beta 3$-expressing tumor cells. The conjugates were obtained in good yield without the need of a protection strategy and under mild conditions.
REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:869496 CAPLUS
DOCUMENT NUMBER: 137:363033
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2004058864	A1	20040325	US 2003-412701	20030410
US 2004006011	A1	20040108	US 2003-425557	20030428
PRIORITY APPLN. INFO.:			US 2000-491078 A2	20000124
			US 1996-21612P P	19960712
			US 1997-893534 A1	19970711
			US 2000-507102 A1	20000217
			US 2001-769145 B1	20010124
			US 2001-6982 A2	20011204

OTHER SOURCE(S): MARPAT 137:363033
AB Peptidomimetics of cyclic **peptides**, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic **peptide** that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:483391 CAPLUS
DOCUMENT NUMBER: 137:311189
TITLE: Influence of polar support for the synthesis of large C-terminal **peptide** aldehyde: application to chemoselective ligation
AUTHOR(S): Lelievre, Dominique; Turpin, Olivier; El Kazzouli, Said; Delmas, Agnes
CORPORATE SOURCE: Centre de Biophysique Moleculaire, CNRS UPR 4301, Orleans, 45071, Fr.
SOURCE: Tetrahedron (2002), 58(27), 5525-5533
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:311189
AB Efficient conditions have been developed for the synthesis of large **peptide** aldehydes from **solid** support through nucleophilic displacement. Aminolysis of the ester bond between a deprotected **peptide** and the phenylacetamidomethyl linker with aminoacetaldehyde-dimethylacetal leads to a **peptide** aldehyde masked as an acetal. Besides the optimization of parameters such as solvents, workup procedure and temperature, the influence of the nature of the polymeric support was crucial. Among the **solid** supports tested, the poly(ethylene glycol)-poly(acrylamide) resin proved to afford the best cleavage yield. This work underlines that the **solid** support has to be considered as a co-solvent rather than an inert **carrier**.

Our methodol. was further applied to the synthesis of a 33-mer with T-helper activity from the fusion protein of measles virus. The 33-mer **peptide** aldehyde was then chemoselectively ligated via an **oxime** bond to an (**aminooxy**) acetyl **peptide** with T-cytotoxic activity.

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:473225 CAPLUS

DOCUMENT NUMBER: 137:217234

TITLE: Synthesis of **Peptide**-Oligonucleotide Conjugates with Single and Multiple **Peptides** Attached to 2'-Aldehydes through Thiazolidine, **Oxime**, and Hydrazine Linkages

AUTHOR(S): Zatsepin, Timofei S.; Stetsenko, Dmitry A.; Arzumanov, Andrey A.; Romanova, Elena A.; Gait, Michael J.; Oretskaya, Tatiana S.

CORPORATE SOURCE: Chemistry Department and A. N. Belozersky Institute of Physico-Chemical Biology, M. V. Lomonosov Moscow State University, Moscow, 119899, Russia

SOURCE: Bioconjugate Chemistry (2002), 13(4), 822-830 CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:217234

AB 2'-Deoxyoligonucleotides and 2'-O-methyloligoribonucleotides carrying one or more 2'-aldehyde groups were synthesized and coupled to **peptides** containing an N-terminal cysteine, **aminooxy**, or hydrazide group to give **peptide**-oligonucleotide conjugates incorporating single or multiple **peptides** in good yield. The facile conjugation method allows specific coupling in aqueous solution of unprotected oligonucleotides containing aldehyde groups to unprotected N-terminally modified **peptides** and other small mols. A 12-mer 2'-O-methyloligoribonucleotide complementary to the HIV-1 TAR RNA stem-loop and containing two conjugated copies of an 8-mer model laminin **peptide** was hardly affected in TAR RNA binding and showed a similar level of inhibition of HIV-1 Tat-dependent in vitro transcription compared to the unconjugated 2'-O-methyloligoribonucleotide. Advantages of this conjugation method include (1) the ability to attach more than one **peptide** or other small mol. to oligonucleotide at defined nucleoside residue locations; (2) a conjugation route that does not affect significantly oligonucleotide binding to RNA structures; and (3) three alternative, facile, and mild conjugation reaction types that do not require use of a large excess of **peptide** reagent.

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:640833 CAPLUS

TITLE: Synthesis of neoglycopeptides by chemoselective reaction of carbohydrates with **peptides** containing novel N'-methyl-**aminooxy** amino acids

AUTHOR(S): Carrasco, Michael R.; Nguyen, Michael J.; Sasikumar, Vivek A.

CORPORATE SOURCE: Department of Chemistry, Santa Clara University, Santa Clara, CA, 95053-0270, USA

SOURCE: Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), ORGN-470. American Chemical Society: Washington, D. C.

CODEN: 69BUZP
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The chemoselective reaction of completely unprotected carbohydrates and **peptides** offers an attractive route for the synthesis of glycopeptides. Successful strategies have focused on **oxime** formation between **aminooxy**-containing **peptides** and native reducing sugars. However, a major drawback has been that the resulting glycoconjugates place the sugars at large distances from the **peptide** backbone and fail to maintain the sugars in their cyclic conformations. To solve these problems, we have designed and synthesized the novel Napo-methyl-**aminooxy** amino acids 1 and 2 for use in Boc chemical-based **solid** phase **peptide** synthesis (SPPS). These derivs. have been successfully incorporated into **peptides** using standard SPPS procedures to yield Napo-methyl-**aminooxy**-containing **peptides**. Reaction of these **peptides** with reducing sugars in aqueous buffers has produced the desired neoglycopeptides, whose attached sugars are close to the **peptide** backbone and are predicted to exist in their cyclic conformations. The results of the various syntheses and structural characterizations will be presented.

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:32296 CAPLUS
DOCUMENT NUMBER: 134:237769
TITLE: Synthesis of **peptide** di-aldehyde precursor for stepwise chemoselective ligations via **oxime** bonds
AUTHOR(S): Lelievre, Dominique; Bure, Corinne; Laot, Fabrice; Delmas, Agnes
CORPORATE SOURCE: Centre de Biophysique Moleculaire, CNRS, Orleans, 45071, Fr.
SOURCE: Tetrahedron Letters (2001), 42(2), 235-238
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:237769
AB To synthesis a triple-function branched **peptide** in a modular way, we present a new strategy based on orthogonal generation of two aldehyde functions from an acetal and a 2-amino alc. Successive unmaskings of aldehyde functions of the stem **peptide** affords stepwise chemoselective ligations of two (**aminooxy**)acetyl **peptides** via **oxime** bonds.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:597952 CAPLUS
DOCUMENT NUMBER: 130:14237
TITLE: Engineering of zinc finger motifs to "locked-in tertiary folds"
AUTHOR(S): Tuchscherer, Gabriele; Lehmann, Christian; Razaname, Alain; Mathieu, Marc
CORPORATE SOURCE: Institute of Organic Chemistry, University of Lausanne, BCH-Dorigny, Lausanne, CH-1015, Switz.
SOURCE: Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 847-848. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.
CODEN: 66RCA5
DOCUMENT TYPE: Conference
LANGUAGE: English

AB A symposium report on the **solid**-phase preparation of template cyclopeptide cyclo[Lys(R)-Pro-Gly-Cys-Asp-Arg-Lys-Lys(R)-Pro-Gly-Phe-Ala-Cys-Ala] (R = COCH2ONH2) and helical 18-mer **peptide** aldehyde R1-Phe-Ser-Arg-Ser-Asp-Glu-Leu-Thr-Arg-His-Ile-Arg-Ile-His-Thr-Gly-Lys(R1)Gly-OH (R1 = COCHO) and double **oxime** formation of the template with the **peptide** aldehyde as a zinc finger DNA binding protein mimic. The synthetic **peptide** construct retains some helical structure even in the absence of zinc, as shown by CD.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:169287 CAPLUS
DOCUMENT NUMBER: 128:244328
TITLE: Chemoselectively addressable HCan building blocks in **peptide** synthesis: L-homocanaline derivatives
AUTHOR(S): Lang, Irmtraud; Donze, Nadine; Garrouste, Patrick; Dumy, Pascal; Mutter, Manfred
CORPORATE SOURCE: Institute of Organic Chemistry, University of Lausanne, Lausanne, CH-1015, Switz.
SOURCE: Journal of Peptide Science (1998), 4(1), 72-80
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:244328
AB (S)-2-amino-5-(**aminooxy**)pentanoic acid (L-homocanaline, HCan), a structural analog of lysine, contains a reactive alkyloxyamine side chain and is therefore considered to react chemoselectively with carbonyl compds. by forming a kinetically stable **oxime** bond. The chemical synthesis of L--homocanaline starting from protected glutamic acid derivs. is described. Two orthogonally protected homocanaline derivs. were synthesized and their use in standard SPPS procedures was exemplified for the synthesis of a chemoselectively addressable cyclic **peptide** for use in template-assembled synthetic protein (TASP) design. Moreover, the wide range of applications of this unique building block was demonstrated for the chemoselective ligation of an unprotected disaccharide to a HCan containing model **peptide** resulting in a chimeric glycopeptide structure.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:38047 CAPLUS
DOCUMENT NUMBER: 120:38047
TITLE: Protein conjugates of defined structure: Synthesis and use of a new **carrier** molecule
AUTHOR(S): Vilaseca, L. Antonio; Rose, Keith; Werlen, Raymond; Meunier, Anne; Offord, Robin E.; Nichols, Cynthia L.; Scott, William L.
CORPORATE SOURCE: Cent. Med. Univ., Geneva, CH 1211, Switz.
SOURCE: Bioconjugate Chemistry (1993), 4(6), 515-20
CODEN: BCCHES; ISSN: 1043-1802
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new **carrier** mol., NH2OCH2CO-(Gly)3-[Lys(H-Ser-)]5-Gly-OH, was synthesized to facilitate the preparation of protein conjugates of defined structure. Special features are as follows: (i) (**aminooxy**)acetyl as a terminal group, which reacts specifically to form an **oxime** bond under very mild conditions with an aldehyde group placed on a protein in a prior step; (ii) a spacer group of three Gly residues; and (iii) a set of 5 Lys residues, each of which is acylated with a Ser residue. A second form of the **carrier** mol.,

HCO-m-C6H4CH:NOCH2CO-(Gly)3-[Lys(H-Ser)]5-Gly-OH, was also prepared This form has a terminal aldehyde group which permits site-specific attachment by formation of a hydrazone bond to the carboxyl termini of polypeptide chains which have been modified enzymically with carbohydrazide in a prior step. Once the **carrier** is linked to protein in one of the above ways, i.e. through formation of either an **oxime** or hydrazone bond, the Ser residues of the **carrier** (but not the protein) may be oxidized by very mild periodate treatment to generate aldehyde groups. Drugs possessing a hydrazide group (e.g. methotrexate γ-hydrazide or desacetylvincaleukoblastine hydrazide) may then be conjugated via hydrazone formation to the aldehyde groups of the **carrier**. A cluster of 5-drug mols. may thus be attached to a single site on a protein, giving a relatively homogeneous product in spite of the high drug conjugation ratio. Synthesis of the **carrier**, formation of a pentadrug-protein conjugate, and wider implications of the chemical are presented.

```
=> s peptide baseplate
        346431 PEPTIDE
        253787 PEPTIDES
        443757 PEPTIDE
                  (PEPTIDE OR PEPTIDES)
         38039 BASEPLATE
          1640 BASEPLATES
         38269 BASEPLATE
                  (BASEPLATE OR BASEPLATES)
L9           0 PEPTIDE BASEPLATE
                  (PEPTIDE(W)BASEPLATE)

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    77.34      77.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -12.75     -12.75

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